

AMENDMENTS TO THE SPECIFICATION

IN THE SPECIFICATION:

Page 30

Please amend the paragraph on page 30, lines 6-15 as follows:

The term “C₂₋₆ alkynylene group” used herein refers to bivalent groups derived by removing one hydrogen atom from the above defined “C₂₋₆ alkynylene group”, and concrete examples of which include an ethynylene group, propynylene group, butynylene group, pentynylene group, hexynylene group and the like, ethynylene group, propynylene group, butynylene group and pentynylene group are preferred, ethynylene group, propynylene group and butynylene group are more preferred, ethynylene group and propynylene group are still preferred, and ethynylene group is most preferred.

Page 43

Please amend the paragraph starting at page 43, line 8 and ending at page 48, line 6 as follows:

Typical examples of substituent involved in “optionally substituted” include:

- (1) halogen atoms;
(for example, fluorine atom, chlorine atom, bromine atom, iodine atom and the like);
- (2) hydroxyl groups;
- (3) cyano groups;
- (4) nitro groups;
- (5) carboxyl groups;

(6) amino groups;

(7) C₁₋₆ alkyl groups

(for example, methyl group, ethyl group, *N*-propyl group, *iso*-propyl group, *N*-butyl group, *tert*-butyl group, *N*-pentyl group, 1,1-dimethylpropyl group, 1,2-dimethylpropyl group, 2,2-dimethylpropyl group, 1-ethylpropyl group, 2-ethylpropyl group, *N*-hexyl group and the like);

(8) C₂₋₆ alkenyl groups

(for example, vinyl group, allyl group, 1-propenyl group, 2-propenyl group, isopropenyl group, 2-methyl-1-propenyl group, 3-methyl-1-propenyl group and the like);

(9) C₂₋₆ alkynyl groups

(for example, ethynyl group, 1-propynyl group, 2-propynyl group, 1-butylnyl group, 2-butylnyl group, 3-butylnyl group, 3-methyl-1-propynyl group, 1-ethynyl-2propynyl group, 2-methyl-3-propynyl group and the like);

(10) C₃₋₈ cycloalkyl groups

(for example, cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group, cycloheptyl group, cyclooctyl group and the like);

(11) C₃₋₈ cycloalkenyl groups

(for example, cyclopropene-1-yl, cyclopropene-3-yl, cyclobutene-1-yl, cyclobutene-3-yl, 1,3-cyclobutadiene-1-yl, cyclopentene-1-yl, cyclopentene-3-yl, cyclopentene-4-yl, 1,3-cyclopentadiene-1-yl, 1,3-cyclopentadiene-2-yl, 1,3-cyclopentadiene-5-yl, cyclohexene-1-yl, cyclohexene-3-yl, cyclohexene-4-yl, 1,3-cyclohexadiene-1-yl, 1,3-cyclohexadiene-2-yl, 1,3-cyclohexadiene-5-yl, 1,4-cyclohexadiene-3-yl, 1,4-cyclohexadiene-1-yl and the like);

(12) C₁₋₆ alkoxy groups

(for example, methoxy group, ethoxy group, *N*-propoxy group, *iso*-propoxy group, *sec*-propoxy group, *N*-butoxy group, *iso*-butoxy group, *sec*-butoxy group, *tert*-butoxy group, *N*-pentyloxy group, *iso*-pentyloxy group, *sec*-pentyloxy group, *N*-hexoxy group, *iso*-hexoxy group, 1,1-dimethylpropyloxy group, 1,2-dimethylpropoxy group, 2,2-dimethylpropyloxy group and the like);

(13) C₁₋₆ alkenyloxy groups

(for example, vinyloxy group, allyloxy group, 1-propenyloxy group, 2-propenyloxy group, isopropenyloxy group, 2-methyl-1-propenyloxy group, 3-methyl-1-propenyloxy group, 2-methyl-2-propenyloxy group, 3-methyl-2-propenyloxy group, 1-butenyloxy group, 2-butenyloxy group, 3-butenyloxy group, 1-pentenyl group, 1-hexenyloxy group, 1,3-hexadienyloxy group, 1,6-hexadienyloxy group and the like);

(14) C₁₋₆ alkylthio groups

(for example, methylthio group, ethylthio group, *N*-propylthio group, *iso*-propylthio group, *N*-butylthio group, *iso*-butylthio group, *sec*-butylthio group, *tert*-butylthio group, *N*-pentylthio group, 1,1-dimethylpropylthio group, 1,2-dimethylpropylthio group, 2,2-dimethylpropylthio group, 1-ethylpropylthio group, 2-ethylpropylthio group, *N*-hexylthio group, 1-methyl-2-ethylpropylthio group, and the like);

(15) C₁₋₆ alkenylthio groups

(for example, vinylthio group, allylthio group, 1-propenylthio group, 2-propenylthio group, isopropenylthio group, 2-methyl-1-propenylthio group, 3-methyl-1-propenylthio group, 2-methyl-2-propenylthio group, 3-methyl-2-propenylthio group, 1-butenylthio group, 2-butenylthio

group, 3-butenylthio group, 1-pentenylthio group, 1-hexenylthio group, 1,3-hexane dienythio group, 1,6- hexane dienythio group, and the like);

(16) C₁₋₁₄ aryloxy groups

(for example, phenyloxy group and the like);

(17) C₂₋₇ acyl groups

(for example, acetyl group, propionyl group, butyryl group and the like);

(18) C₆₋₁₄ ~~aromatic hydrocarbon cyclic~~ aryl groups

(for example, phenyl group, 1-naphthyl group, 2-naphthyl group, and the like);

(19) 4- to 14-membered ~~non-aromatic hydrocarbon cyclic~~ heterocyclic groups

(for example, 1) pyrrolidyl group, pyrrolilyl group, piperidyl group, piperazyl group, imidazolyl group, pyrazolidyl group, imidazolidyl group, morphoryl group, tetrahydrofuryl group, tetrahydropyranlyl group, aziridinyl group, oxylanyl group and oxathiolanyl group;

2) groups derived from pyrrolidone ring;

3) groups derived from condensed rings such as phthalimide ring and succinimide group, and the like);

(20) 5- to 14-membered ~~aromatic heterocyclic~~ heteroaryl groups

(for example, pyrrolyl group, pyridyl group, pyridazinyl group, pyrimidyl group, pyrazinyl group, imidazolyl group, benzimidazolyl group, indolyl group, indazolyl group, quinolyl group, isoquinolyl group, thienyl group, benzothienyl group, furyl group, pyranlyl group, benzofuryl group, thiazolyl group, benzothiazolyl group and the like);

(21) amide group,

(22) sulfonyl groups having C₁₋₆ aliphatic hydrocarbon groups as substituent;

(23) sulfonamide groups,

(24) C₁₋₆ alkylcarbamoyl groups,

(25) C₁₋₆ alkoxycarbonyl groups,

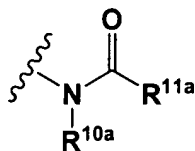
(26) C₁₋₆ alkylcarbonyloxy groups,

(27) C₁₋₆ alkylsulfonyl groups,

(28) C₁₋₆ alkylsulfinyl groups,

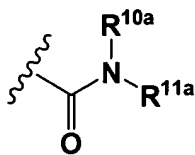
(29) formyl group,

(30) the formula:



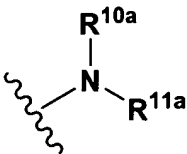
(wherein R^{10a} and R^{11a} each independently designate a hydrogen atom or C₁₋₆ alkyl group)

(31) the formula:



(wherein R^{10a} and R^{11a} each independently designate a hydrogen atom or C₁₋₆ alkyl group)

(32) the formula:



(wherein R^{10a} and R^{11a} each independently designate a hydrogen atom or C₁₋₆ alkyl group)

and the like groups, and the term “optionally substituted” used herein means that the compound may have 1 to 4 substituent(s) selected from the above substituent groups.

Page 107

Please amend the paragraph at page 107, lines 3-12 as follows:

Compound 69 72 can be produced in the following manner: compound 69 which is easily in accordance with the known methods (U=hydroxyl group: for example, *J. Org. Chem.* 1992, 57, 5680-5686., U=thiol group: for example, *J. Heterocycle. Chem.* 1990, 27, 567., U=amino group: for example, *Synthesis* 1987, 1124.) is iodized or brominated at 4-position of pyrazole to obtain compound 70; trimethylsilyl acetylene is coupled to this compound 70 by Sonogashira coupling and detrimethylsilylation is conducted to obtain compound 71; and then compound 71 is aromatized and deprotected.

Page 301

Please amend the paragraph at page 301, lines 12-21 as follows:

To a solution of 31.3 mg of 3-[(*E*)-2-(4-fluorophenyl)-vinyl]-4-(2-hydroxyethoxy)-1*H*-indazole-5-carboxylic acid ethyl ester obtained by Example 349-j in 0.7 mL of tetrahydrofuran were added 0.3 mL of ethanol and 0.2 mL of 5N sodium hydroxide aqueous solution, and stirred at 70°C for 1 hour and 20 minutes. After cooling on ice, the solution was neutralized with 2N hydrochloric acid under ice cooling, and the precipitated crystals were collected by filtration, and dried under reduced pressure, to afford 25 mg of the title compound as yellow crude crystals.

Page 502

Please amend the paragraph at page 506, lines 15-26 as follows:

Example 1054

1-Ethyl-3-{6-fluoro-3-[(*E*)-2-(4-fluorophenyl)-vinyl]-1*H*-indazol-5-yl}-urea

10 mg of 6-fluoro-3-[(*E*)-2-(4-fluorophenyl)-vinyl]-1-trityl-1*H*-indazol-5-ylamine obtained by Production example 182 and 3 μ L of ethyl isocyanate was dissolved in 5 mL of chloroform, and heated at reflux for 2 hours. The reaction solution was allowed to cool to room temperature, added with 0.5 mL of trifluoroacetic acid, stirred at room temperature for 30 minutes, and the reaction mixture was purified and separated by LC-MS, to afford 0.67 mg of the title compound as pale yellow powder.

Page 526

Please amend the paragraph at page 526, lines 5-15 as follows:

Example 1146

7-Fluoro-3-[(*E*)-2-(4-fluorophenyl)-vinyl]-5-[5-(piperidin-1-yl)methyl-4*H*-[1,2,4]triazol-3-yl]-1*H*-indazole

13 mg piperidin-1-yl-acetic acid hydrazide produced by Production example 1139 and 15 mg of 7-fluoro-3-[(*E*)-2-(4-fluorophenyl)-vinyl]-1*H*-indazole-5-carboxymidic acid ethyl ester hydrochloride obtained by Example 383 were dissolved in 1 mL of butanol, and added with 30 μ L of triethylamine. After stirring at 105°C for 8 hours, the solution was purification by LC-MS, to afford 2.08 mg of the title compound.

Page 577

Please amend the paragraph at page 577, lines 14-18 as follows:

¹H-NMR (400MHz, DMSO-D₆) δ 1.17 (2H, dd, J=4.4,7.6Hz), 1.43 (2H, dd, J=4.8, 7.6Hz), 3.96

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Docket No.: 0425-1154PUS1

(3H, s), 7.25 (2H, t, J=8.8Hz), 7.30 (1H, d, J=8.4Hz), 7.51 (1H, d, J=16.8Hz), 7.54 (1H, d, J=8.8Hz), 7.56 (1H, d, J=16.4Hz), 7.70 (1H, dd, J=5.6,8.8Hz), 8.73 (1H, s)